

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
16 June 2005 (16.06.2005)

PCT

(10) International Publication Number  
WO 2005/054168 A2

(51) International Patent Classification<sup>7</sup>: C07C 45/00

(21) International Application Number:  
PCT/GB2004/004964

(22) International Filing Date:  
25 November 2004 (25.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0303220-8 28 November 2003 (28.11.2003) SE

(71) Applicant (for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CY, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, SZ, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW only): ASTRAZENECA AB [SE/SE]; S-SE-151 85 Sodertalje (SE).

(71) Applicant (for MG only): ASTRAZENECA UK LIMITED [GB/GB]; 15 Stanhope Gate, London Greater London W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BLIXT, Hans, Jorgen [SE/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE). BOSSON, Bo, Lars, Gustaf [SE/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE). GATTI, Roberto, Giuseppe, Paolo [IT/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE). SENIOR, Michael, William [GB/GB]; AstraZeneca,

Charter Way, Macclesfield Cheshire SK10 2NA (GB). ZARAMELLA, Simone [IT/SE]; AstraZeneca R & D Sodertalje, S-SE-151 85 Sodertalje (SE). VOGTLI, Kurt [CH/CH]; CarboGen AG, Schachenallee 29, CH-5001 Aarau (CH). ZISTLER, Andrea [DE/CH]; CarboGen AG, Schachenallee 29, CH-5001 Aarau (CH).

(74) Agent: ASTRAZENECA; Global Intellectual Property, S-SE-151 85 Sodertalje (SE).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/054168 A2

(54) Title: NEW PROCESS

(57) Abstract: The present invention relates to a new process for the resolution of mandelic acid derivatives from racemic mandelic acid derivative mixtures by salt formation with chiral base cyclic amides; to the resolved mandelic acid cyclic amide salts (see, for example, formula IIa), as well as certain other metal and amine salts of the mandelic acid derivatives, and to the use of the resolved mandelic acid derivatives as intermediates suitable for large-scale manufacturing of, for example, pharmaceutical compounds; Formula IIa, wherein R is selected from CHF<sub>2</sub>, H, C1-6 Alkyl, CH<sub>2</sub>F, CHCl<sub>2</sub> and CCIF<sub>2</sub>; and wherein n is 0, 1 or 2; R<sub>1</sub> is H or C1-6 Alkyl and X is H, halo or C1-6 Alkyl.